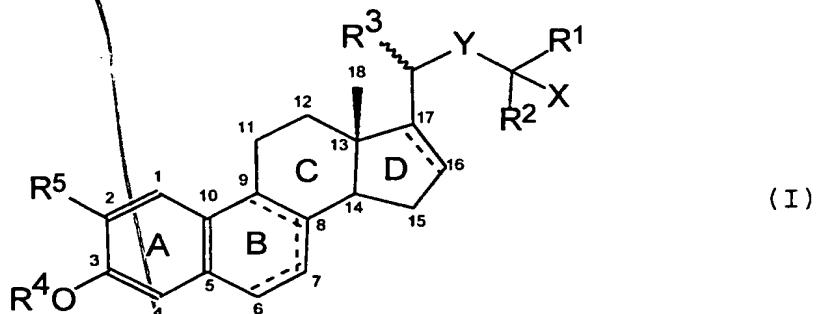


Claims:

### 1. Compounds of formula (I)

5

10



in which:

15  $R^1$  and  $R^2$ , which may be the same or different, each represents a lower alkyl, alkenyl or alkynyl group;

$R^3$  represents a methyl group having  $\alpha$ - or  $\beta$ - configuration;

20  $R^4$  represents a hydrogen atom or an etherifying or esterifying group;

$R^5$  represents a hydrogen atom, a hydroxyl group or a lower alkoxy group;

25 X represents a group OR<sup>4</sup>, wherein R<sup>4</sup> is as defined above, or a group NR<sup>6</sup>R<sup>7</sup> wherein R<sup>6</sup> represents a hydrogen atom, an aliphatic or araliphatic organic group, or an acyl group comprising an aliphatic, araliphatic or aryl organic group linked to the nitrogen atom by way of a carbonyl group; and R<sup>7</sup> is a hydrogen atom or a lower alkyl group;

30 Y represents a lower alkylene, alkenylene or alkynylene group optionally substituted by a hydroxyl, etherified hydroxyl or esterified hydroxyl group; and  
35 the dotted lines signify that double bonds may be present at the 16(17)-position and/or either at the 6(7)- and 8(9)-positions or at the 7(8)-position.

2. Compounds of formula (I) as claimed in claim 1

- 63 -

wherein R<sup>1</sup> and R<sup>2</sup> are independently selected from C<sub>1-6</sub> alkyl groups and C<sub>2-7</sub> alkenyl and alkynyl groups.

3. Compounds of formula (I) as claimed in claim 2  
5 wherein R<sup>1</sup> and R<sup>2</sup> are straight chain groups.

4. Compounds of formula (I) as claimed in claim 2  
wherein R<sup>1</sup> and R<sup>2</sup> are selected from methyl, ethyl and  
propargyl groups.

10 *Sub*  
*Al*  
5. Compounds of formula (I) as claimed in any of the  
preceding claims wherein R<sup>4</sup> a hydrogen atom, a silyl  
group, a C<sub>1-6</sub> alkyl group optionally interrupted by one  
or more oxygen atoms or substituted by a lower  
15 cycloalkyl group, a cyclic ether group, a C<sub>1-6</sub> alkanoyl  
group, an aroyl group, a C<sub>1-6</sub> alkane sulphonyl or  
halogenated methane sulphonyl group, or an arene  
sulphonyl group.

20 6. Compounds of formula (I) as claimed in claim 5  
wherein R<sup>4</sup> is a hydrogen atom.

7. Compounds of formula (I) as claimed in claim 5  
wherein R<sup>1</sup> is a metabolically labile group or a lower  
25 alkyl group.

8. Compounds of formula (I) as claimed in any of the  
preceding claims wherein R<sup>5</sup> represents a hydrogen atom or  
a methoxy group.

30 9. Compounds of formula (I) as claimed in any of the  
preceding claims wherein X represents a hydroxyl group  
or a group of formula NR<sup>6</sup>R<sup>7</sup> wherein:

35 R<sup>6</sup> is a C<sub>1-6</sub> alkyl group, C<sub>6-12</sub> carbocyclic aryl C<sub>1-4</sub>  
alkyl group, C<sub>1-6</sub> alkanoyl group, C<sub>6-12</sub> carbocyclic aryl  
C<sub>2-5</sub> alkanoyl group, C<sub>7-13</sub> carbocyclic aroyl group or any  
of the preceding groups substituted by one or more halo,

- 64 -

$C_{1-4}$  alkyl,  $C_{1-4}$  alkoxy,  $C_{1-4}$  alkanoyl,  $C_{1-4}$  alkylamino, di( $C_{1-4}$  alkyl)amino, nitro, carbamoyl or  $C_{1-4}$  alkanoylamino substituents; and

$R'$  is a hydrogen atom or a  $C_{1-6}$  alkyl group.

5

10. Compounds of formula (I) as claimed in claim 9 wherein X represents a hydroxyl, amino, methylamino, ethylamino, N-ethyl-N-methylamino, acetylamino, benzamido or phenylacetylamino group.

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11. Compounds of formula (I) as claimed in any of the preceding claims wherein Y contains up to 7 carbon atoms and up to 3 multiple bonds.

15

12. Compounds of formula (I) as claimed in claim 11 wherein Y is a straight chain  $C_{2-6}$  group.

13. Compounds of formula (I) as claimed in any of the preceding claims wherein Y is substituted by a hydroxyl, etherified hydroxyl or esterified hydroxyl group positioned  $\alpha$ -,  $\beta$ - or  $\gamma$ - to the group  $-C(R^1)(R^2).X$  or  $\alpha$ - to any triple bond present in the group Y.

14. Compounds as claimed in claim 11 wherein Y is selected from ethylene, trimethylene, tetramethylene, vinylene, buta-1,3-dienylene, prop-2-ynylene and 1-hydroxyprop-2-ynylene.

30

15. Compounds of formula (I) as claimed in claim 1 wherein:

$R^1$  and  $R^2$ , which may be the same or different, each represents a lower alkyl group;

$R^5$  represents a hydrogen atom; and

X represents a group  $NR^6R^7$  wherein  $R^7$  is hydrogen.

35

## 16. The compounds:

25-acetylamino-3-hydroxy-24-homo-19-nor-cholest-  
1,3,5(10),16-tetraene;

5 25-ethylamino-3-hydroxy-24-homo-19-nor-cholest-  
1,3,5(10),16-tetraene;

25-methylamino-3-hydroxy-24-homo-19-nor-cholest-  
1,3,5(10),16-tetraene;

10 25-dimethylamino-3-hydroxy-24-homo-19-nor-cholest-  
1,3,5(10),16-tetraene;

25-(N-ethyl-N-methylamino)-3-hydroxy-24-homo-19-  
nor-cholest-1,3,5(10),16-tetraene;

15 25-acetylamino-3-methoxy-24-homo-19-nor-cholest-  
1,3,5(10),16-tetraene;

25-acetylamino-3-ethoxy-24-homo-19-nor-cholest-  
1,3,5(10),16-tetraene;

25-acetylamino-3-isobutoxy-24-homo-19-nor-cholest-  
1,3,5(10),16-tetraene;

20 25-benzamido-3-hydroxy-24-homo-19-nor-cholest-  
1,3,5(10),16-tetraene;

25-phenylacetylamino-3-hydroxy-24-homo-19-nor-  
cholest-1,3,5(10),16-tetraene;

25-acetylamino-3-hydroxy-24-homo-19-nor-cholest-  
1,3,5(10)-triene;

25 3,24-dihydroxy-24-propargyl-19,26,27-trisnor-  
cholest-1,3,5(10)-triene;

2-methoxy-3,24-dihydroxy-24-propargyl-19,26,27-  
trisnor-cholest-1,3,5(10)-triene;

30 3,24-dihydroxy-20-epi-24-propargyl-19,26,27-  
trisnor-cholest-1,3,5(10)-triene;

3,24-dihydroxy-24,24-bispropargyl-19-nor-chol-  
1,3,5(10),22-tetraene;

2-methoxy-3,24-dihydroxy-24,24-bispropargyl-19-nor-  
chol-1,3,5(10),22-tetraene;

35 3,24-dihydroxy-20-epi-24,24-bispropargyl-19-nor-  
chol-1,3,5(10),22-tetraene;

3-hydroxy-25-amino-26,27-bishomo-19-nor-cholest-

1,3,5(10)-trien-23-yne;  
2-methoxy-3-hydroxy-25-amino-26,27-bishomo-19-nor-  
cholest-1,3,5(10)-trien-23-yne;  
3-hydroxy-20-epi-25-amino-26,27-bishomo-19-nor-  
cholest-1,3,5(10)-trien-23-yne;  
3-hydroxy-25-amino-26,27-bishomo-19-nor-cholest-  
1,3,5(10)-triene;  
2-methoxy-3-hydroxy-25-amino-26,27-bishomo-19-nor-  
cholesta-1,3,5(10)-triene;  
3-hydroxy-20-epi-25-amino-26,26-bishomo-19-nor-  
cholesta-1,3,5(10)-triene;  
3-hydroxy-25-acetylamino-26,27-bishomo-19-nor-  
cholest-1,3,5(10)-trien-23-yne;  
2-methoxy-3-hydroxy-25-acetylamino-26,27-bishomo-  
19-nor-cholest-1,3,5(10)-trien-23-yne;  
3-hydroxy-20-epi-25-acetylamino-26,27-bishomo-19-  
nor-cholest-1,3,5(10)-trien-23-yne;  
3,22-dihydroxy-25-amino-26,27-bishomo-19-nor-  
cholest-1,3,5(10)-trien-23-yne;  
2-methoxy-3,22-dihydroxy-25-amino-26,27-bishomo-19-  
nor-cholest-1,3,5(10)-trien-23-yne;  
3,22-dihydroxy-20-epi-25-amino-26,27-bishomo-19-  
nor-cholest-1,3,5(10)-trien-23-yne;  
2-methoxy-3-hydroxy-24-homo-25-acetylamino-19-nor-  
cholest-1,3,5(10),16-tetraene;  
2-methoxy-3-hydroxy-24-homo-25-amino-19-nor-  
cholest-1,3,5(10),16-tetraene;  
2-methoxy-3-hydroxy-25-acetylamino-19-nor-cholest-  
1,3,5(10),16-tetraene;  
2-methoxy-3-hydroxy-25-amino-19-nor-cholest-  
1,3,5(10),16-tetraene;  
3-hydroxy-24-homo-25-acetylamino-19-nor-cholest-  
1,3,5(10),6,8,16-hexaene;  
3-hydroxy-24-homo-25-amino-19-nor-cholest-  
1,3,5(10),6,8,16-hexaene;  
3,25-dihydroxy-19-nor-cholest-1,3,5(10)-  
trien-23-yne;

- 67 -

3,25-dihydroxy-19-nor-cholest-1,3,5(10)-triene;  
2-methoxy-3,25-dihydroxy-19-nor-cholest-1,3,5(10)-  
trien-23-yne;  
3,25-dihydroxy-20-epi-19-nor-cholest-1,3,5(10)-  
5 trien-23-yne;  
2-methoxy-3,25-dihydroxy-19-nor-cholest-1,3,5(10)-  
triene;  
3,25-dihydroxy-20-epi-19-nor-cholest-1,3,5(10)-  
triene;  
10 3,25-dihydroxy-24,24a-bishomo-19-nor-cholest-  
1,3,5(10),22,24(24a)-pentaene;  
25-amino-3-hydroxy-20-epi-24-homo-19-nor-cholest-  
1,3,5(10),16-tetraene;  
25-acetylamino-3-hydroxy-20-epi-24-homo-19-nor-  
15 cholest-1,3,5(10),16-tetraene;  
25-amino-3-hydroxy-20-epi-19-nor-cholest-  
1,3,5(10),16-tetraene;  
25-acetylamino-3-hydroxy-20-epi-24-homo-19-nor-  
cholest-1,3,5(10),16-tetraene;  
20 3-hydroxy-24-homo-25-acetylamino-19-nor-cholest-  
1,3,5(10),6,16-pentaene; and  
3-hydroxy-24-homo-25-amino-19-nor-cholest-  
1,3,5(10),6,16-pentaene.

25 17. Active compounds of formula (I) as claimed in any  
preceeding claim for use in management of neoplastic  
disease; as agents to promote wound healing; in burn  
management; in treatment of bone diseases, autoimmune  
disease, host-graft reaction, transplant rejection,  
30 inflammatory diseases, neoplasias or hyperplasias,  
myopathy, enteropathy or spondylitic heart disease; in  
suppression of parathyroid hormone; in treatment of  
dermatological diseases, hypertension, rheumatoid  
arthritis, psoriatic arthritis, secondary  
35 hyperparathyroidism, asthma, cognitive impairment or  
senile dementia; in fertility control in either human or  
animal subjects; in management of disorders involving

*SH  
AS*

blood clotting; or in reduction of serum cholesterol.

18. The use of an active compound of formula (I) as claimed in any one of claims 1 to 16 for the manufacture of a medicament for use in management of neoplastic disease; as an agent to promote wound healing; in burn management; in treatment of bone diseases, autoimmune disease, host-graft reaction, transplant rejection, inflammatory diseases, neoplasias or hyperplasias, 5 myopathy, enteropathy or spondylitic heart disease; in suppression of parathyroid hormone; in treatment of dermatological diseases, hypertension, rheumatoid 10 arthritis, psoriatic arthritis, secondary hyperparathyroidism, asthma, cognitive impairment or 15 senile dementia; in fertility control in either human or animal subjects; in management of disorders involving blood clotting; or in reduction of serum cholesterol.

19. Pharmaceutical compositions comprising an active compound of formula (I) as claimed in any one of claims 1 to 16 in admixture with one or more physiologically acceptable carriers or excipients.

20. A method of treatment of a human or animal subject 25 in the management of neoplastic disease; to promote wound healing; in burn management; in treatment of bone diseases, autoimmune disease, host-graft reaction, transplant rejection, inflammatory diseases, neoplasias or hyperplasias, myopathy, enteropathy or spondylitic 30 heart disease; in suppression of parathyroid hormone; in treatment of dermatological diseases, hypertension, rheumatoid arthritis, psoriatic arthritis, secondary hyperparathyroidism, asthma, cognitive impairment or 35 senile dementia; in fertility control; in management of disorders involving blood clotting; or in reduction of serum cholesterol, which method comprises administering to said subject a therapeutically effective amount of an

active compound of formula (I) as claimed in any of claims 1 to 16.

21. A process for the preparation of a compound of  
5 formula (I) as defined in claim 1 which comprises  
reacting a compound containing a precursor for the  
desired 17-position side chain in one or more stages and  
with one or more reactants serving to form the said  
desired 17-position side chain, followed if necessary  
10 and/or desired by removal of any O-protecting group.